

Deforolimus

Catalog No: tcsc0122



Available Sizes

Size: 10mg

Size: 50mg



Specifications

CAS No:

572924-54-0

Formula:

$C_{53}H_{84}NO_{14}P$

Pathway:

PI3K/Akt/mTOR

Target:

mTOR

Purity / Grade:

>98%

Solubility:

DMSO : ≥ 44 mg/mL (44.44 mM)

Alternative Names:

AP23573;MK-8669;Ridaforolimus

Observed Molecular Weight:

990.21

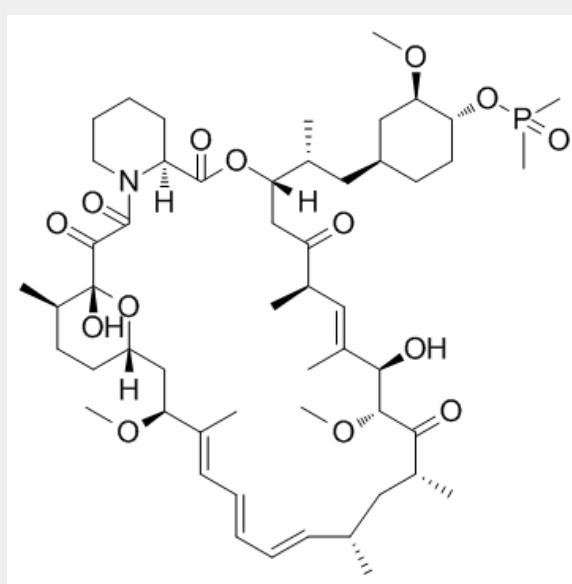
Product Description

Deforolimus (AP23573; MK-8669) is a potent and selective **mTOR** inhibitor; inhibits S6 phosphorylation with an **IC₅₀** of 0.2 nM in HT-1080 cells.

IC50 & Target: IC50: 0.5 nM (HT-1080 cells)^[1]

In Vitro: Treatment of HT-1080 fibrosarcoma cells with deforolimus results in a dose-dependent inhibition of phosphorylation of both S6 and 4E-BP1, with IC₅₀s of 0.2 and 5.6 nM, respectively, and EC₅₀s of 0.2 and 1.0 nM, respectively. In HT-1080 cells, the EC₅₀ for inhibition of cell proliferation (0.5 nM) is similar to the EC₅₀s for inhibition of S6 and 4E-BP1 phosphorylation. Exposure to deforolimus reduces the proliferation of cell lines representing a variety of tumor types. Administration of deforolimus to tumor cells *in vitro* elicit dose-dependent inhibition of mTOR activity with concomitant effects on cell growth and division. Deforolimus exhibits a predominantly cytostatic mode of action, consistent with the findings for other mTOR inhibitors. Potent inhibitory effects on vascular endothelial growth factor secretion, endothelial cell growth, and glucose metabolism^[1].

In Vivo: Deforolimus inhibits tumor growth in mice bearing PC-3 (prostate), HCT-116 (colon), MCF7 (breast), PANC-1 (pancreas), or A549 (lung) xenografts. Deforolimus inhibits tumor growth in a dose-dependent manner, with 0.3 mg/kg being the lowest dose that inhibits tumor growth significantly and 3 and 10 mg/kg doses achieving maximum inhibition^[1].



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